

EP 1400531

AN 2004:247009 CAPLUS

DN 140:253916

ED Entered STN: 25 Mar 2004

TI Process for the synthesis of N-[(S)-1-(ethoxycarbonyl)butyl]-(S)-alanine
for use in preparation of perindopril

IN Breard, Fabienne; Lecouve, Jean-Pierre

PA Les Laboratoires Servier, Fr.

SO Eur. Pat. Appl., 9 pp.

CODEN: EPXXDW

DT Patent

LA French

IC ICM C07K005-06

ICS C07C227-32; C07K005-02; C07D209-42

CC 34-3 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 1

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 1400531	A1	20040324	EP 2003-292405	20030930 <--
EP 1400531	B1	20060104		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
AT 315046	T	20060215	AT 2003-292405	20030930
ES 2256693	T3	20060716	ES 2003-3292405	20030930
WO 2005033128	A1	20050414	WO 2004-FR2464	20040929
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRAI EP 2003-292405 A 20030930

CLASS

PATENT NO. CLASS PATENT FAMILY CLASSIFICATION CODES

EP 1400531	ICM	C07K005-06
ICS C07C227-32; C07K005-02; C07D209-42		
IPCI	C07K0005-00 [I,C];	C07C0227-00 [I,C]; C07D0209-00 [I,C];
C07K0005-06 [I,A]; C07C0227-32 [I,A];		
C07D0209-42 [I,A]; C07K0005-02 [I,A]		
IPCR	C07C0227-00 [I,C*];	C07C0227-32 [I,A]; C07C0229-00 [N,C*];
C07C0229-16 [N,A]; C07K0005-00 [I,C*];		
C07K0005-02 [I,A]; C07K0005-06 [I,A]		
ECLA	C07C227/32; C07K005/02H1A;	C07K005/06A1H1
AT 315046	IPCI	C07K0005-06 [I,C,7]; C07C0227-32 [I,C,7]; C07C0227-00 [I,C,7,C*];
C07D0209-42 [I,C,7]; C07D0209-00 [I,C,7,C*];		
C07K0005-02 [I,C,7]; C07K0005-00 [I,C,7,C*]		
IPCR	C07C0227-00 [I,C*];	C07C0229-00 [N,C*]; C07K0005-00 [I,C*];
C07C0227-32 [I,A]; C07C0229-16 [N,A];		

C07K0005-02 [I,A]; C07K0005-06 [I,A]
 ECLA C07C227/32; C07K005/02H1A; C07K005/06A1H1
 ES 2256693 IPCI C07K0005-06 [ICS,4]; C07D0209-42 [ICS,4]; C07D0209-00
 [ICS,4,C*]; C07K0005-02 [ICS,4]; C07K0005-00
 [ICS,4,C*]; C07C0227-32 [ICS,4]; C07C0227-00 [ICS,4,C*]
 IPCR C07C0227-00 [I,C*]; C07C0229-00 [N,C*]; C07K0005-00
 [I,C*]; C07C0227-32 [I,A]; C07C0229-16 [N,A];
 C07K0005-02 [I,A]; C07K0005-06 [I,A]
 ECLA C07C227/32; C07K005/02H1A; C07K005/06A1H1
 WO 2005033128 IPCI C07K0005-06 [ICM,7]; C07C0227-32 [ICS,7]; C07C0227-00
 [ICS,7,C*]; C07K0005-02 [ICS,7]; C07K0005-00
 [ICS,7,C*]; C07D0209-42 [ICS,7]; C07D0209-00 [ICS,7,C*]
 IPCR C07C0227-00 [I,C*]; C07C0227-32 [I,A]; C07C0229-00
 [N,C*]; C07C0229-16 [N,A]; C07K0005-00 [I,C*];
 C07K0005-02 [I,A]; C07K0005-06 [I,A]
 ECLA C07C227/32; C07K005/02H1A; C07K005/06A1H1
 OS MARPAT 140:253916
 AB (S)-EtO2CCHPr-L-Ala-OH was prepd. by a multistep procedure starting with allylation of Et
 glyoxylate with allylzinc bromide. Subsequent steps were resoln. using Pseudomonas Fluorescens
 lipase, triflation of (R)-EtO2CCH(OH)CH2CH:CH2, substitution reaction with benzyl L-alaninate,
 and catalytic hydrogenolysis.
 ST carboxybutylalanine ester prepn intermediate synthesis perindopril;
 alanine carbethoxybutyl prepn intermediate synthesis perindopril
 IT 104196-82-9P, 4-Pentenol acid, 2 hydroxy, ethyl ester, r
 RL: BPN (Biosynthetic preparation); RCT (Reactant); BIOL (Biological
 study); PREP (Preparation); RACT (Reactant or reagent)
 (process for synthesis of (carbethoxybutyl)-L-alanine in prepn. of
 perindopril)
 IT 669088-66-8P 669088-67-9P
 RL: BPN (Biosynthetic preparation); RCT (Reactant); SPN (Synthetic
 preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant
 or reagent)
 (process for synthesis of (carbethoxybutyl)-L-alanine in prepn. of
 perindopril)
 IT 82834-12-6P
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP
 (Preparation)
 (process for synthesis of (carbethoxybutyl)-L-alanine in prepn. of
 perindopril)
 IT 82834-16-0P, Perindopril
 RL: PNU (Preparation, unclassified); PREP (Preparation)
 (process for synthesis of (carbethoxybutyl)-L-alanine in prepn. of
 perindopril)
 IT 924-44-7 17831-01-5, Benzyl L-alaninate 18925-10-5, Allylzinc bromide
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (process for synthesis of (carbethoxybutyl)-L-alanine in prepn. of
 perindopril)
 IT 157920-22-4P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (process for synthesis of (carbethoxybutyl)-L-alanine in prepn. of
 perindopril)
 RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
 RE
 (1) Adir; WO 0156353 A 2001 CAPLUS
 (2) Adir; WO 0156972 A 2001 CAPLUS

- (3) Bennion, C; JOURNAL OF MEDICINAL CHEMISTRY 1991, V34(1), P439 CAPLUS
- (4) Vincent, M; DRUG DESIGN AND DISCOVERY 1992, V9(1), P11 CAPLUS